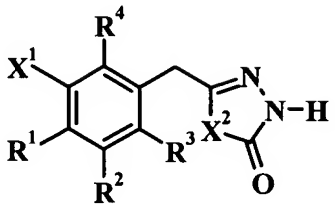
We claim:

1. A compound according to formula **I** wherein;



(I)

X^1 is selected from the group consisting of R^5O, $R^5S(O)_n$, R^5CH_2, R^5CH_2O, $R^5CH_2S(O)_n$, R^5OCH_2, $R^5S(O)_nCH_2$ and NR^5R^6;

X^2 is selected from the group consisting of *o*-phenylene, 1,2-cyclohexenylene, O, S, and NR^7;

R^1 and R^2 are

(i) each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,

(ii) taken together are -CH=CH-CH=CH-, or

(iii) taken together along with the carbons to which they are attached to form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R^3 and R^4 are each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^5 is selected from the group consisting of phenyl, naphthyl, pyrdinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide,, pyrimidinyl, pyrazinyl and pyrrolyl; wherein, said phenyl, said naphthyl, said pyrdinyl, said pyridinyl N-oxide, said indolyl, said indolyl N-oxide, said quinolinyl, said quinolinyl N-oxide,, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, acyl, alkoxycarbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

R^6 is hydrogen, C_{1-6} alkyl, or acyl;

R^7 is hydrogen or C_{1-6} alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} sulfonyl, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylaminoalkyl;

n is an integer from 0 to 2; and,

hydrates, solvates, clathrates and acid addition salts thereof, with the proviso that if X^2 is *ortho*-phenylene, R^5 can not unsubstituted phenyl.

2. A compound according to claim 1 wherein:

X^1 is OR^5 or SR^5;

R^3 is hydrogen or fluoro;

R^4 is selected from the group consisting of hydrogen, chloro, fluoro and methyl; and

R^5 is optionally substituted phenyl.

3. A compound according to claim 2 wherein R^1 is methyl, ethyl, trifluoromethyl or halogen.

4. A compound according to claim 3 wherein R^5 is monosubstituted phenyl.

5. A compound according to claim 3 wherein R^5 is 2,5-disubstituted phenyl.

6. A compound according to claim 3 wherein R^5 is 3,5-disubstituted phenyl.

7. A compound according to claim 3 wherein R^5 is 2,4-disubstituted phenyl.

8. A compound according to claim 3 wherein R^5 is 2,6-disubstituted phenyl.

9. A compound according to claim 1 wherein:

X^1 is $-OR^5$ or $-SR^5$;

R^1 and R^2 are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; and,

R^3 is hydrogen or fluorine.

10. A compound according to claim 9 wherein:

X^1 is OR^5;

R^1 is methyl, ethyl, trifluoromethyl or halogen;

R^2 and R^4 are independently selected form the group consisting of hydrogen, fluoro, chloro, methyl and ethyl;

R^3 is hydrogen or fluoro;

R^5 is optionally substituted phenyl; and,

n is an integer from 0 to 2.

11. A compound according to claim 10 wherein R^5 is monosubstituted phenyl.

12. A compound according to claim 11 wherein R^5 is a monosubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

13. A compound according to claim 10 wherein R^5 is 2,5-disubstituted phenyl.

14. A compound according to claim 13 wherein R^5 is a 2,5-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

15. A compound according to claim 10 wherein R^5 is 3,5-disubstituted phenyl.

16. A compound according to claim 15 wherein R^5 is a 3,5-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

17. A compound according to claim 10 wherein R^5 is 2,4-disubstituted phenyl.

18. A compound according to claim 17 wherein R^5 is a 2,4-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

19. A compound according to claim 10 wherein R^5 is 2,6-disubstituted phenyl.

20. A compound according to claim 19 wherein R^5 is a 2,6-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

21. A compound according to claim 1 wherein:

X^1 is OR^5 or SR^5;

R^3 and R^4 are independently selected from the group consisting of hydrogen, chloro, fluoro, and methyl; and,

R^5 is optionally substituted pyrdinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide,, pyrimidinyl, pyrazinyl or pyrrolyl.

22. A compound according to claim 1 wherein R^1 and R^2 along with the carbon atoms to which they are attached form a phenyl, dihydropyran, dihydrofuran or furan ring.

23. A compound according to claim 22 wherein:

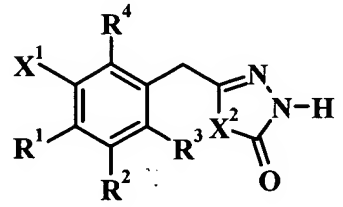
X^1 is OR^5 or SR^5;

R^3 is hydrogen;

R^4 is hydrogen or fluoro; and,

R^5 is optionally substituted phenyl.

24. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, comprising administering to a host in need thereof a therapeutically effective amount of a compound of formula **I**



(I)

wherein:

X^1 is selected from the group consisting of R^5O, $R^5S(O)_n$, R^5CH_2, R^5CH_2O, $R^5CH_2S(O)_n$, R^5OCH_2, $R^5S(O)_nCH_2$ and NR^5R^6;

X^2 is selected from the group consisting of *o*-phenylene, 1,2-cyclohexenylene, O, S, and NR^7;

R^1 and R^2 are

(i) each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,

(ii) taken together are -CH=CH-CH=CH-, or

(iii) taken together along with the carbons to which they are attached to form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R^3 and R^4 are each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^5 is selected from the group consisting of phenyl, naphthyl, pyrdinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide,, pyrimidinyl, pyrazinyl and pyrrolyl; wherein, said phenyl, said naphthyl, said pyrdinyl, said pyridinyl N-oxide, said indolyl, said indolyl N-oxide, said quinolinyl, said quinolinyl N-oxide,, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, acyl, alkoxycarbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

R^6 is hydrogen, C_{1-6} alkyl, or acyl;

R^7 is hydrogen or C_{1-6} alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino;

n is an integer from 0 to 2; and,

hydrates, solvates, clathrates and acid addition salts thereof.

25. A method according to claim 24 wherein:

X^1 is OR^5;

R^1 is methyl, ethyl, trifluoromethyl or halogen;

R^2 and R^4 are independently selected from the group consisting of hydrogen, fluoro, chloro, methyl and ethyl;

R^3 is hydrogen or fluoro; and,

R^5 is optionally substituted phenyl.

26. A method for treating HIV infection according to claim 24 further comprising co-administering at least one compound selected from the group consisting of HIV protease inhibitors, nucleoside reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, CCR5 inhibitors and viral fusion inhibitors.

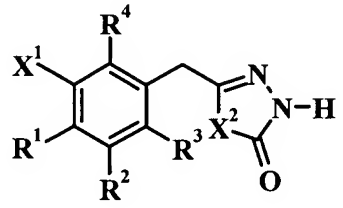
27. A method according to claim 26 wherein the reverse transcriptase inhibitor is selected from the group consisting of zidovudine, lamivudine, didanosine, zalcitabine, stavudine, rescriptor, sustiva, viramune, efavirenz, nevirapine and delavirdine and/or the protease inhibitor is selected from the group consisting of saquinavir, ritonavir, nelfinavir, indinavir, amprenavir and lopinavir.

28. A method for inhibiting a retrovirus reverse transcriptase comprising administering a compound according to claim 25.

29. A method according to claim 28 wherein the host is infected with a strain of HIV expressing a reverse transcriptase with at least one mutation compared to wild type virus.

30. A method according to claim 24 wherein said strain of HIV exhibits reduced susceptibility to efavirenz, nevirapine or delavirdine.

31. A pharmaceutical composition comprising a therapeutically effective quantity of a compound of formula **I** wherein;



(I)

X^1 is selected from the group consisting of R^5O, $R^5S(O)_n$, R^5CH_2, R^5CH_2O, $R^5CH_2S(O)_n$, R^5OCH_2, $R^5S(O)_nCH_2$ and NR^5R^6;

X^2 is selected from the group consisting of *o*-phenylene, 1,2-cyclohexenylene, O, S, and NR^7;

R^1 and R^2 are

(i) each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,

(ii) taken together are -CH=CH-CH=CH-, or

(iii) taken together along with the carbons to which they are attached to form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R^3 and R^4 are each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^5 is selected from the group consisting of phenyl, naphthyl, pyridinyl, pyridinyl N-oxide, indolyl, indolyl N-oxide, quinolinyl, quinolinyl N-oxide,, pyrimidinyl, pyrazinyl and pyrrolyl; wherein, said phenyl, said naphthyl, said pyrdinyl, said pyridinyl N-oxide, said indolyl, said indolyl N-oxide, said quinolinyl, said quinolinyl N-oxide,, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are substituted with one to three substituents independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, acyl, alkoxycarbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

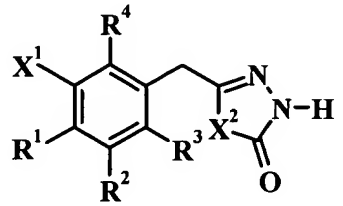
R^6 is hydrogen, C_{1-6} alkyl, or acyl;

R^7 is hydrogen or C_{1-6} alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino;

n is an integer from 0 to 2; and,

hydrates, solvates, clathrates and acid addition salts thereof, with the proviso that if X^2 is *ortho*-phenylene, R^5 can not unsubstituted phenyl, in admixture with at least one pharmaceutically acceptable carrier or diluent sufficient upon administration in a single or multiple dose regimen for treating diseases mediated by human immunodeficieny virus inhibit HIV.

32. A process for preparing a heterocycle of formula **I**, wherein X^1 is OR^5 or OCH_2R^5 and R^5 is an optionally substituted aryl or heteroaryl moiety, X^2 is O, S, or NR^7 and R^1-R^4 and R^7 are as defined hereinabove,



(I)

comprising the steps of:

(i)(a) coupling an aryl compound of formula **IIa** wherein X^4 is hydrogen, alkoxycarbonyl or CN with *(A)* an arylboronic acid or an aryl halide, or (B) aralkyl halide to produce an ether of formula **IIb**; and, if X^4 is hydrogen,

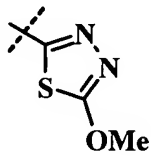
(b) (A) brominating the methyl group with N-bromosuccinimide and *(B)* displacing the bromide (X^4 = Br) with sodium cyanide to produce the corresponding nitrile (X^4 = CN), and, optionally, *(C)* hydrolyzing the nitrile to an alkoxycarbonyl ($X^4 = CO_2R$) or an O-alkyl imidate hydrochloride ($X^4 = C(=NH_2^+)OR\ Cl^-$);

(IIa) **(IIb)**

(ii)(A) treating a compound of formula **IIb** (X^4 = alkoxycarbonyl) sequentially with hydrazine hydrate to form the acyl hydrazide (**IIb**; $X^4 = CONHNH_2$) and, *(a)* phosgene, or a phosgene equivalent, to produce an oxadiazolone of formula **I** wherein X^2 is O; or,

(b) and sequentially with an alkyl isocyanate (R^7NCO) to produce a diacylhydrazone (**IIb**; $X^4 = C(=O)NHNHC(=O)NHR^7$) and with base to produce a triazolone **I** ($X^2 = NR^7$); or,

(B) treating a nitrile of formula **IIb** (X^4 = CN) sequentially *(a)* with acid and alcohol to produce the O-alkyl imidate hydrochloride ($X^4 = C(=NH_2^+)OR\ Cl^-$), *(b)* with O-methylthiocarbazine ($NH_2NHC(=S)OMe$)to produce **IIb** wherein



(III)

X^4 is a methoxythiadiazoline according to formula **(III)**, and *(c)* with aqueous acid to produce a thiadiazolone compound of formula **I** wherein X^2 is S.

33. A process according to claim 32 wherein said ether is formed by coupling an arylboronic acid and **IIa** in the presence of a copper (II) salt.

34. A process according to claim 32 wherein said ether is formed by coupling an aryl halide and **IIa** in the presence of a copper (I) salt.

35. A process according to claim 32 wherein said ether is formed by coupling an aralkyl halide, aryl halide or heteroaryl halide said aryl halide being substituted with electronegative groups and said heteroaryl halide optionally substituted with electron withdrawing groups, and **IIa,** said coupling being base-catalyzed.

36. A process according to claim 32 wherein X^1 is OCH_2R^5 and said ether is formed by coupling an alcohol and **IIa** said coupling is catalyzed an a dialkylazodicarboxylate and triaryl or trialkylphosphine.

38. A process according to claim 32 wherein said oxadiazolone is produced by cyclizing the acylhydrazide with phosgene.

39. A process according to claim 32 wherein said oxadiazolone is produced by cyclizing the acylhydrazide with carbonyldiimidazole.

40. A process according to claim 32 wherein said triazolone is formed by sequential treatment with methyl isocyanate or ethyl isocyanate and methanolic sodium hydroxide.

41. A process according to claim 32 wherein said thiadiazolone is formed by sequential treatment with hydrazinecarbothioic acid O-methyl ester and aqueous acid.

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